

10/054, 300

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FILE 'HOME' ENTERED AT 16:20:03 ON 18 DEC 2006

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FULL ESTIMATED COST 0.21 0.21

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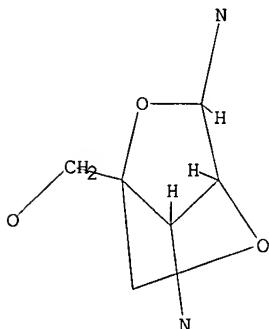
<http://www.cas.org/ONLINE/UG/repprops.html>

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L1 STRUCTURE: UPLOADED

=> d 11

L1 HAS NO ANSWERS



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -           8 TO ITERATE

100.0% PROCESSED      8 ITERATIONS          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:    8 TO      329
PROJECTED ANSWERS:       0 TO      0
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10/054,300

L2 0 SEA SSS SAM L1

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100.0% PROCESSED 197 ITERATIONS 24 ANSWERS
SEARCH TIME: 00.00.01

L3 24 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
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FULL ESTIMATED COST 166.94 167.15

FILE 'CAPLUS' ENTERED AT 16:20:53 ON 18 DEC 2006
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FILE COVERS 1907 - 18 Dec 2006 VOL 145 ISS 26
FILE LAST UPDATED: 17 Dec 2006 (20061217/ED)

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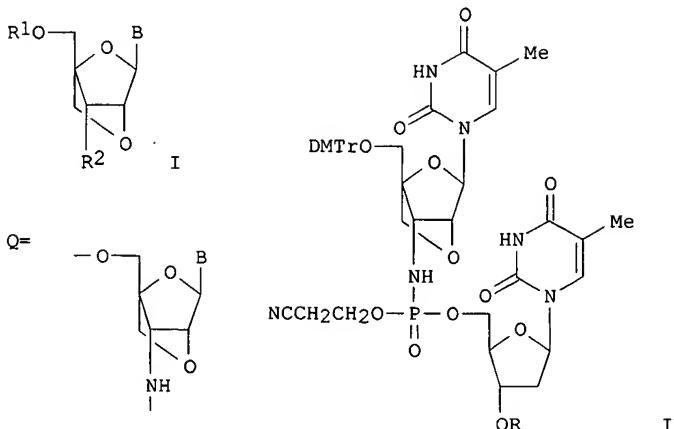
<http://www.cas.org/infopolicy.html>

=> s 13
L4 7 L3

=> d bib abs hitstr 1-7 14

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:750731 CAPLUS
DN 137:295192
TI Preparation of bicyclonucleoside analogs and oligonucleotides containing them as nucleic acid reagents
IN Imanishi, Takeshi; Kohiyori, Satoshi
PA Samkyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 2002284793 A 2002/003 JP 2002-6998 20020116
PRAI JP 2001-9314 A 2001/0117
OS MARPAT 137:295192
GI

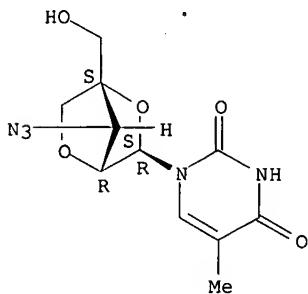


AB Disclosed are nucleic acid reagents containing bicyclonucleoside analogs [I; R1 = H, HO-protecting group in DNA synthesis, PO3H2 optionally protected by a protecting group used in DNA synthesis, P(R4a)R4b (wherein R4a, R4b = OH, SH, or NH2 each optionally protected by a protecting group used in DNA synthesis, C1-6 alkoxy, C1-6 alkylthio, C1-7 cyanoalkoxy, C1-6 alkylamino); R2 = N3, NH2, NHR3 (wherein R3 = amino-protecting group in DNA synthesis, PO3H2 optionally protected by a protecting group used in DNA synthesis, P(R4a)R4b; wherein R4a, R4b = same as above); B = purin-9-yl or 2-oxo-1,2-dihydropyrimidin-1-yl optionally having ≥ 1 of any substituents selected from HO, SH, or NH2 each optionally protected by a protecting group used in DNA synthesis, C1-6 alkylamino, C1-6 alkyl, halo] or pharmacol. acceptable salts thereof. These bicyclonucleoside analogs have anti-AIDS activity and are useful as intermediates for oligonucleotide analogs possessing excellent antisense and anti-gene activity and stable in vivo. Also claimed are antisense or anti-gene drugs containing oligonucleotides containing ≥ 2 of 3'-amino-3'-deoxy-2'-O,4'-C-methylene bicyclonucleoside structure units represented by Q (wherein B = same as above) or pharmacol. acceptable salts thereof. Thus, to a solution of 22.1 mg 3'-O-(tert-butyldimethylsilyl)thymidine-5'-methylphosphonate (preparation given) in 0.3 mL CC14 was added a solution of 10.0 mg 3'-amino-3'-deoxy-5'-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine (preparation given) and 0.05 mL Et3N in 0.2 mL MeCN and stirred at room temperature for 18 h to give a dinucleotide analog (II; DMTr = 4,4'-dimethoxytrityl; R = tert-butyldimethylsilyl) in 39% yield which (13.9 mg) was dissolved in 1 mL THF and stirred with 15 μ L 1.0 M Bu4NF/THF at room temperature for 3 h to give 78% II (R = H). To a solution of 10.0 mg II (R = H) and 15.5 mg diisopropylammonium tetrazolide in 0.6 mL MeCN were added 0.2 mL THF and 39.8 mg 2-cyanoethyl diisopropylchlorophosphoramidite and stirred at room temperature for 25 h to give 31% II [R = P(OCH2CH2CN)N(i-Pr)2] which was used to prepare an oligonucleotide analog, 5'-TTTTTTTTTnT-3' (III; n = 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine residue) (4.3% yield) by the solid phase phosphoramidite method using a DNA synthesizer Gene Assembler Plus (Pharmacia Corp.). The oligonucleotide analog III exhibited the formability of a triple strand ($T_m = 55^\circ$) with 2 natural-type oligonucleotides of 5'-GCTAAAAAGAAAGAGAGATCG-3' and 5'-CGATCTCTCTTCTTTAGC-3', superior to that ($T_m = 44^\circ$) of a natural-type oligonucleotide of 5'-TTTTTmTTmTmTmT-3' (m = 5-methyl-2'-deoxycytidine). III also exhibited the resistance against hydrolysis by 3'-exonuclease from *Crotalus durissus* (phosphodiester II) comparable to that of the known unnatural oligonucleotide, i.e. 5'-TTTTTTTTTn'T-3' (n' = 2'-O,4'-C-methylene-5-methyluridine).

IT 247025-17-8P, 3'-Azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of anti-AIDS bicyclonucleoside analogs and antisense and anti-gene oligonucleotide analogs containing them as nucleic acid reagents)

RN 247025-17-8 CAPLUS
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 247025-18-9P, 3'-Amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine

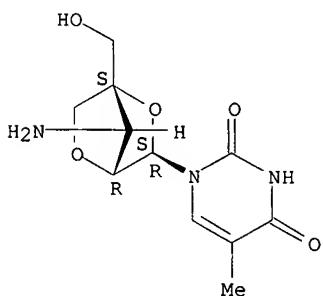
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anti-AIDS bicyclonucleoside analogs and antisense and anti-gene oligonucleotide analogs containing them as nucleic acid reagents)

RN 247025-18-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 247025-16-7P, 3'-Azido-5'-O-tert-butyldiphenylsilyl-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine 321882-28-4P, 3'-Azido-3'-deoxy-5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine 321882-29-5P, 3'-Amino-3'-deoxy-5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine 391259-82-8P 391259-84-0P 391259-85-1P 457659-26-6P

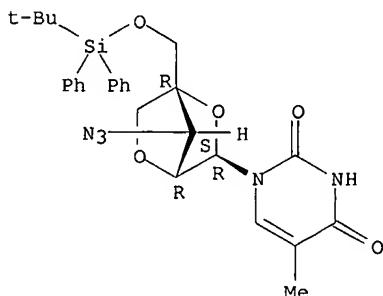
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anti-AIDS bicyclonucleoside analogs and antisense and anti-gene oligonucleotide analogs containing them as nucleic acid reagents)

RN 247025-16-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

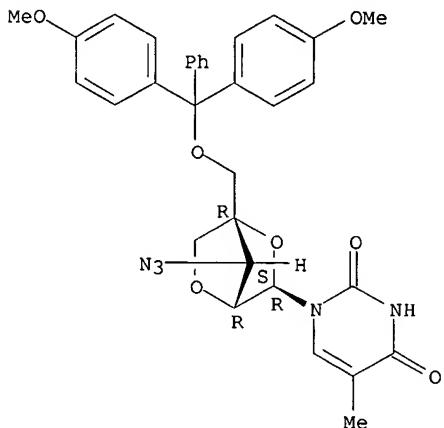


10/054, 300

RN 321882-28-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-deoxy- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

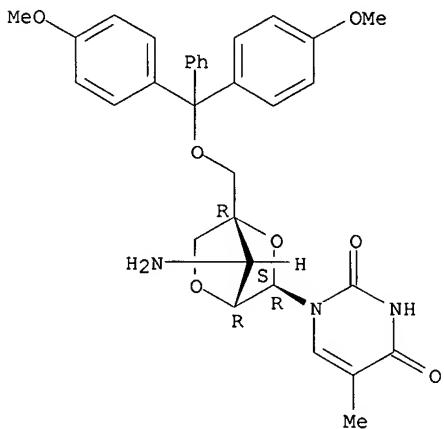
Absolute stereochemistry.



RN 321882-29-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-4-C-[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-deoxy- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

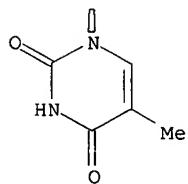
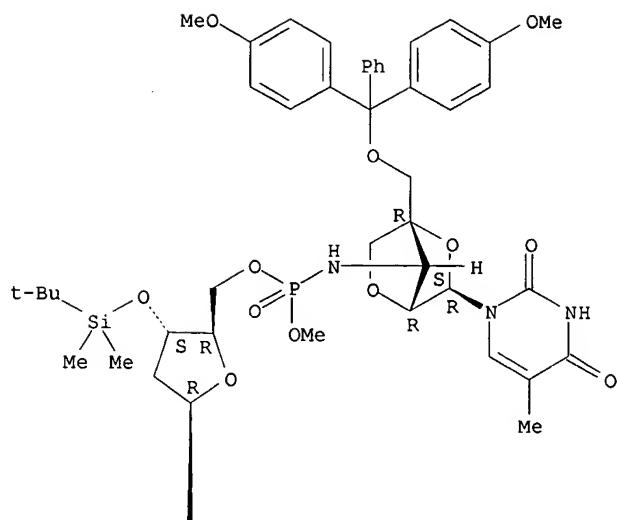
Absolute stereochemistry.



RN 391259-82-8 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy- β ,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

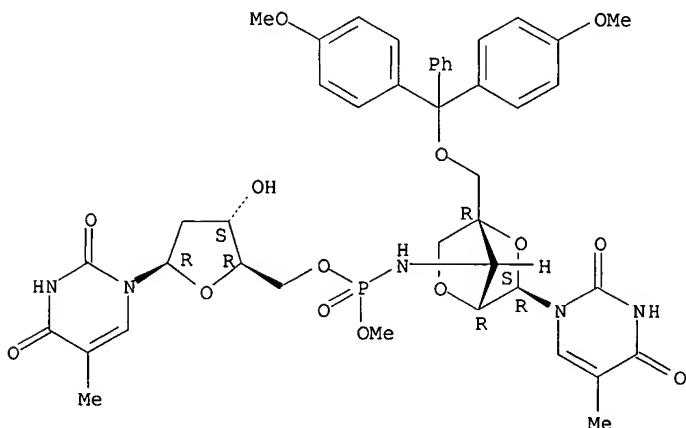
Absolute stereochemistry.



RN 391259-84-0 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')- (9CI) (CA INDEX NAME)

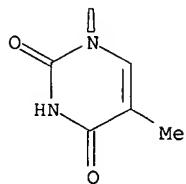
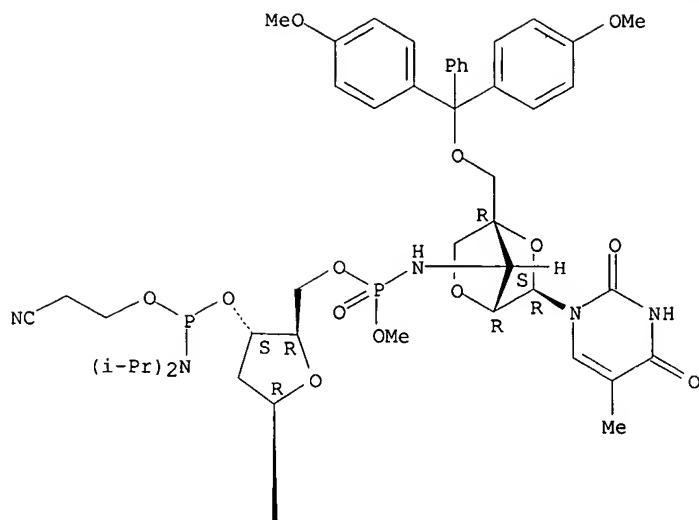
Absolute stereochemistry.



RN 391259-85-1 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

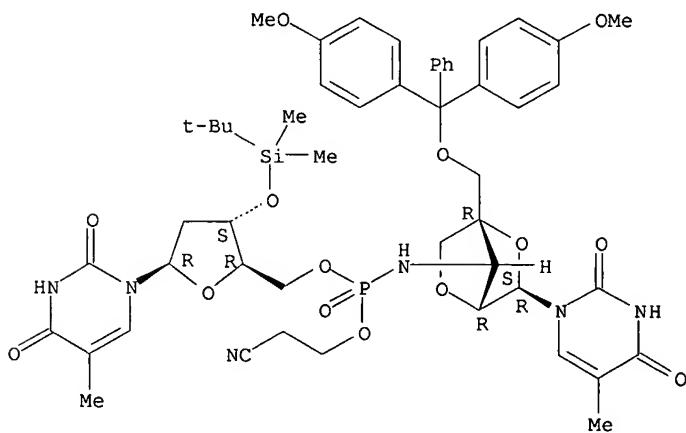
Absolute stereochemistry.



RN 457659-26-6 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-cyanoethyl)-3'-deoxy-5-methyl-2'-O,4'-C-methyleneuridyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:691401 CAPLUS

DN 137:232861

TI Preparation of 3'-amino or 3'-amino-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs and oligonucleotide analogs containing the nucleoside analogs and N3'-P5' bond as anti-AIDS drugs

10/054,300

IN Imanishi, Takeshi; Kohiyori, Satoshi
PA Sankyo Co., Ltd. Japan
SO Jpn. Kokai TOKKO Koho, 43 pp.

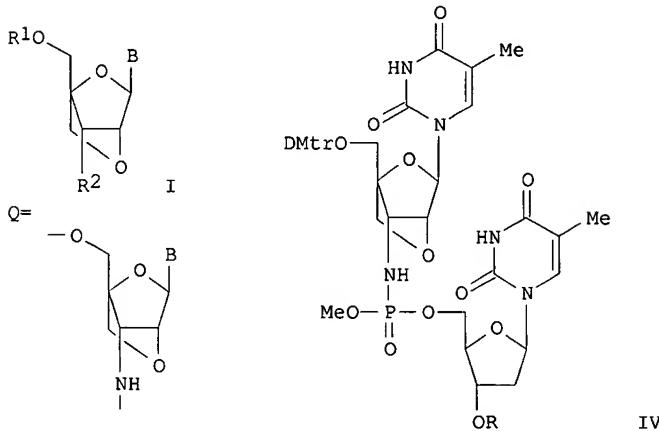
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002255990	A	20020911	JP 2001-352543	20011119
PRAI	JP 2000-354326	A	20001121		
OS	MARPAT 137:232861				
GI					



AB Bicyclo nucleoside analogs having anti-AIDS activity, oligonucleotides possessing excellent antisense or anti-gene activity and in vivo stability, and intermediates thereof are provided. 3'-Amino or 3'-azido-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs [I; R¹ = H, hydroxy-protecting group in nucleic acid synthesis, P(O)(OH)₂ optionally protected by a protecting group in nucleic acid synthesis, P(R^{4a})R^{4b} (wherein R^{4a}, R^{4b} = OH, SH, or NH₂ optionally protected by a protecting group in nucleic acid synthesis, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₇ cyanoalkoxy, C₁₋₆ alkylamino); R² = N₃, NH₂, NHR³ (wherein R³ = amino-protecting group in nucleic acid synthesis), P(O)(OH)₂ optionally protected by a protecting group in nucleic acid synthesis, P(R^{4a})R^{4b} (wherein R^{4a}, R^{4b} = same as above); B = purin-9-yl or 2-oxo-1,2-dihydropyrimidin-1-yl optionally possessing ≥1 substituent group selected from HO, SH, or NH₂ protected by a protecting group in nucleic acid synthesis, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkyl, and halo] and oligonucleotides containing 1 or ≥2 nucleoside residues represented by formula Q (B = same as above) or pharmacol. acceptable salts thereof are prepared. Thus, 240 mg O,O'-bis(trimethylsilyl)thymine and 253 mg SnCl₄ were added to a solution of 300 mg 3'-azido-5-O-tert-butyldiphenylsilyl-3'-deoxy-4-(p-toluenesulfonyloxymethyl)-1,2-di-O-acetyl-D-ribofuranose in 6 mL 1,2-dichloroethane and stirred for 43 h to give 91% 2'-O-acetyl-3'-azido-5'-O-tert-butyldiphenylsilyl-3'-deoxy-4'-(p-toluenesulfonyloxymethyl)-5-methyluridine which were deprotected by treatment with K₂CO₃ in MeOH at room temperature for 4.5 h and with Bu₄NF in THF at room temperature for 1 h to give 85% 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine (II). To a solution of 300 mg II in 6 mL pyridine was added 415 mg 4,4'-dimethoxytrityl chloride and 12.5 mg 4-dimethylaminopyridine and stirred at room temperature for 20.5 h to give 76% 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5'-O-(4,4'-dimethoxytrityl)-5-methyluridine which (110 mg) was stirred with PPh₃ in pyridine at room temperature for 3.5 h to give 97% 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5'-O-(4,4'-dimethoxytrityl)-5-methyluridine (III). III (10.0 mg) was condensed with 22.1 mg 3'-O-(tert-butyldimethylsilyl)thymidine 5'-(Me phosphonate) in the presence of Et₃N in CCl₄/MeCN at room temperature for 18 h to give 39% dinucleotide analog (IV; R = tert-butyldimethylsilyl; DMTr = 4,4'-dimethoxytrityl) which was deprotected by treatment with Bu₄NF in THF to give 78% IV (R = H). To a solution of 10.0 mg IV (R = H) and 15.5

10/054,300

mg diisopropylammonium tetrazolide in 0.6 mL MeCN and 0.2 mL THF was added 39.8 mg 2-cyanoethyl-N,N-diisopropylchlorophosphoramidite and stirred at room temperature for 25 h to give dinucleotide analog phosphoramidite IV [R = P(CH₂CH₂CN)N(iPr)₂] which was used to prepare oligonucleotide analogs, e.g. 5'-TTTTTmTnTmTmT-3' (V; m = 5-methyl-2'-deoxycytidine, n = 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine residue), by a Gene Assembler Plus DNA synthesizer (Pharmacia Corp.). V exhibited the formability of a triple strand (T_m = 55°) with 5'-GCTAAAAAGAAAGAGAGATCG-3' and 5'-CGATCTCTCTTCTTTAGC-3' better than that (T_m = 44°) of natural oligonucleotide 5'-TTTTTmTmTmT-3' (m = same as above).

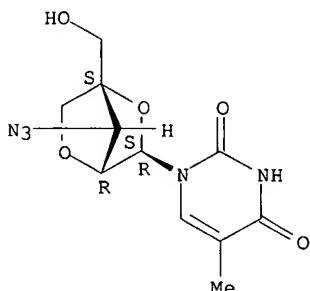
IT 247025-17-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 3'-amino or 3'-amino-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs and nuclease-resistant antisense oligonucleotide analogs containing them and N3'-P5' bonds as anti-AIDS drugs)

RN 247025-17-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



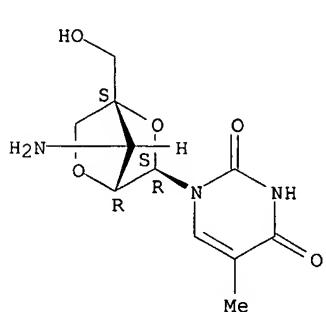
IT 247025-18-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3'-amino or 3'-amino-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs and nuclease-resistant antisense oligonucleotide analogs containing them and N3'-P5' bonds as anti-AIDS drugs)

RN 247025-18-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 247025-16-7P 321882-28-4P 321882-29-5P

391259-82-8P 391259-84-0P 391259-85-1P
457659-26-6P 457659-27-7P 457659-28-8P

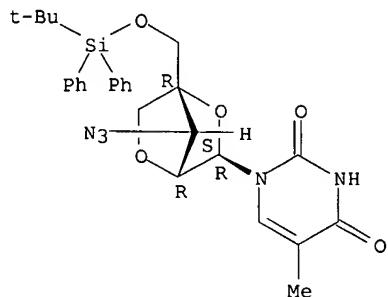
457659-29-9P 457659-30-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3'-amino or 3'-amino-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs and nuclease-resistant antisense oligonucleotide analogs containing

10/054, 300

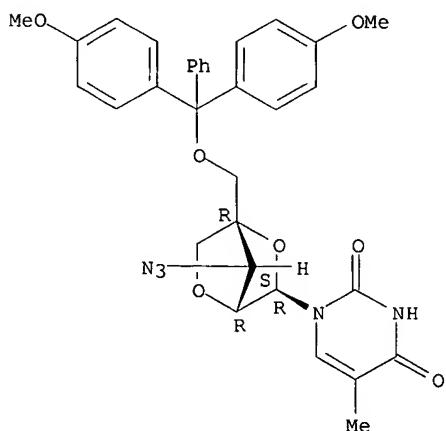
RN 247025-16-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]- α -L-lyxofuranosyl]-5-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



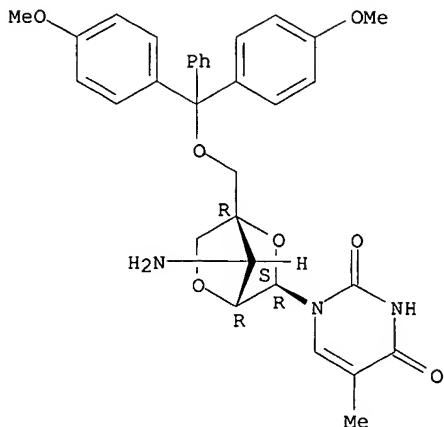
RN 321882-28-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-deoxy- α -L-lyxofuranosyl]-5-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321882-29-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-deoxy- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

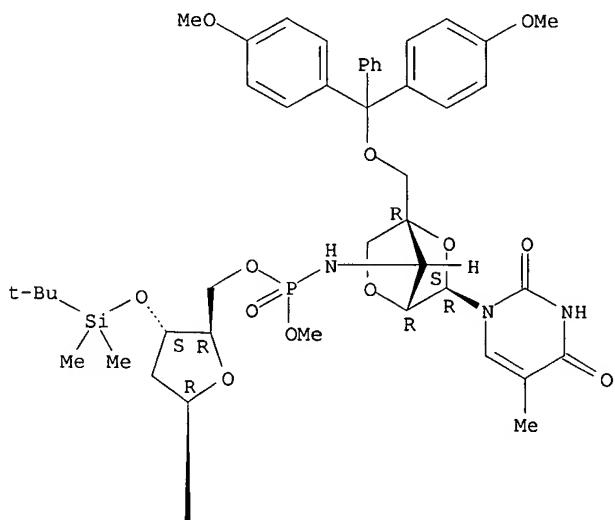


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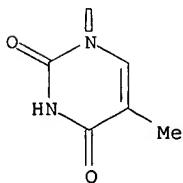
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



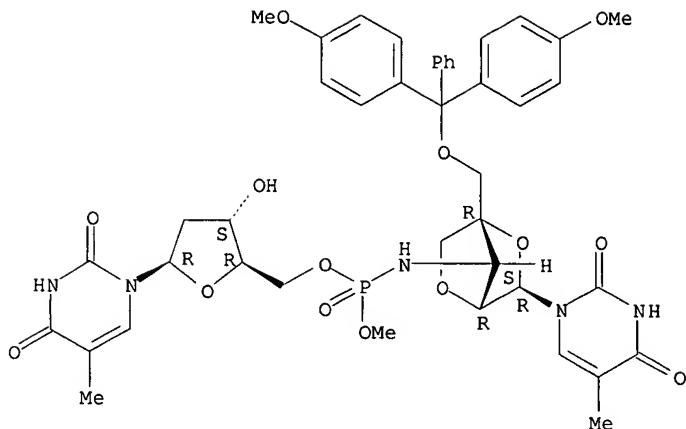
PAGE 2-A



RN 391259-84-0 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

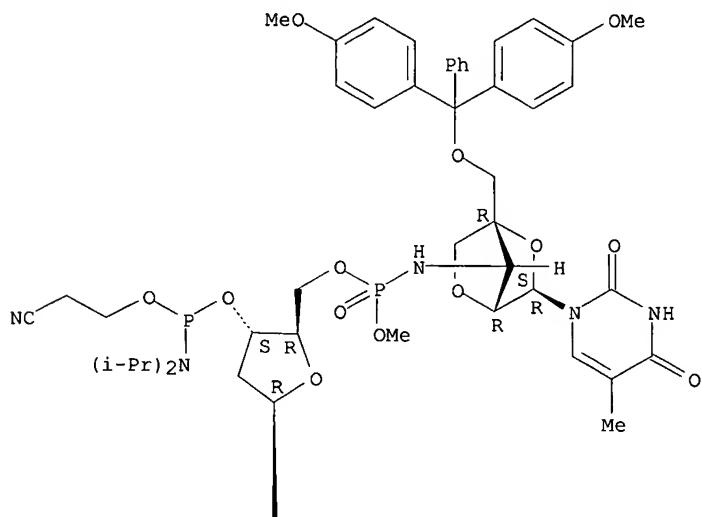


RN 391259-85-1 CAPLUS

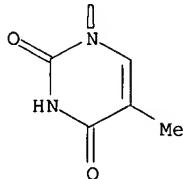
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



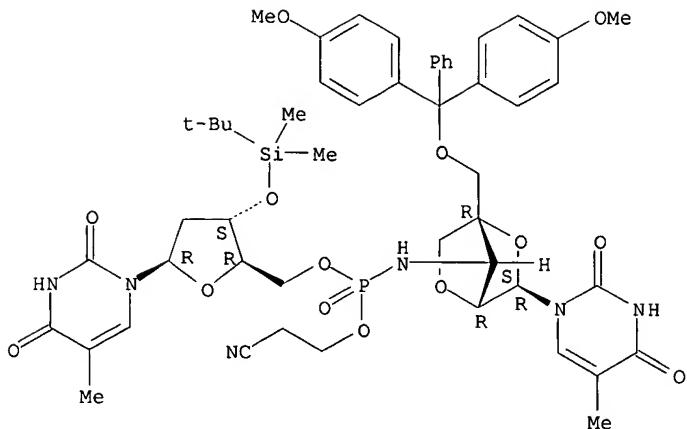
PAGE 2-A



RN 457659-26-6 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-cyanoethyl)-3'-deoxy-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

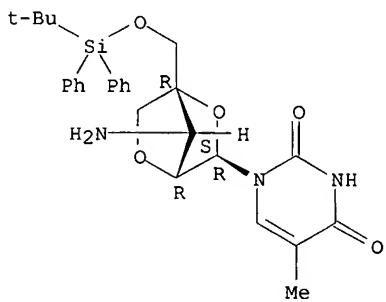
Absolute stereochemistry.



RN 457659-27-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

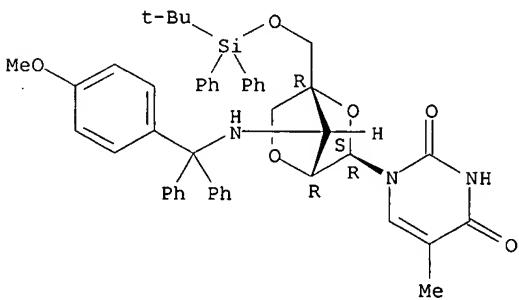
Absolute stereochemistry.



RN 457659-28-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-deoxy-4-C-[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-3-[(4-methoxyphenyl)diphenylmethyl]amino]- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

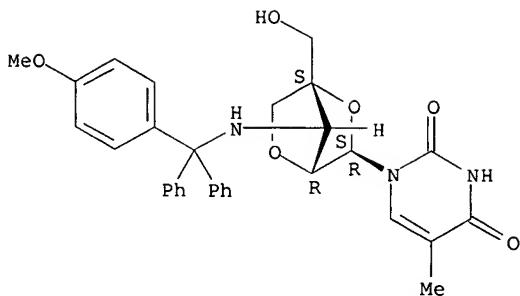
Absolute stereochemistry.



RN 457659-29-9 CAPLUS

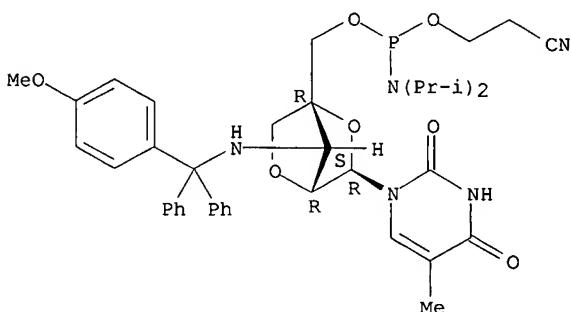
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)-3-[(4-methoxyphenyl)diphenylmethyl]amino]- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



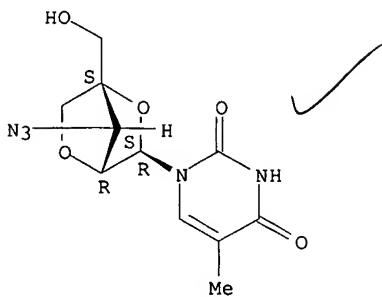
RN 457659-30-2 CAPLUS
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[[[[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]oxy]methyl]-3-deoxy-3-[(4-methoxyphenyl)diphenylmethyl]amino]-alpha-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2002:517337 CAPLUS
 DN 137:353253
 TI Synthesis and antiviral evaluation of novel conformationally locked nucleosides and masked 5'-phosphate derivatives thereof
 AU Bryld, Torsten; Sorensen, Marianne H.; Nielsen, Poul; Koch, Troels; Nielsen, Claus; Wengel, Jesper
 CS Department of Chemistry, Nucleic Acid Center, University of Southern Denmark, Odense, DK-5230, Den.
 SO Journal of the Chemical Society, Perkin Transactions 1 (2002), (14), 1655-1662
 CODEN: JCSPCE; ISSN: 1472-7781
 PB Royal Society of Chemistry
 DT Journal
 LA English
 OS CASREACT 137:353253
 AB As part of a program towards evaluating the potential of conformationally locked 3'-deoxy- and 3'-azido-3'-deoxy-nucleoside derivs. as prodrugs of potential 5'-O-triphosphorylated anti-HIV drugs, novel nucleoside derivs. with locked N-type (north-type, C3'-endo) furanose conformation were prepared using convergent synthetic strategies. In addition, masked 5'-monophosphate derivs. of these, and of a conformationally restricted 3'-azido-3'-deoxynucleoside with E-type (eastern-type, O4'-endo) furanose conformation, were prepared in order to potentially circumvent the first phosphorylation step. However, neither the free 5'-hydroxy derivs. nor the masked 5'-monophosphates showed anti-HIV activity in MT-4 cells.
 IT 247025-17-8
 RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
 (synthesis and antiviral activity of novel conformationally locked nucleosides and masked phosphate derivs. in order to evaluate the relationship between furanose conformation and anti-HIV activity)
 RN 247025-17-8 CAPLUS
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)-alpha-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



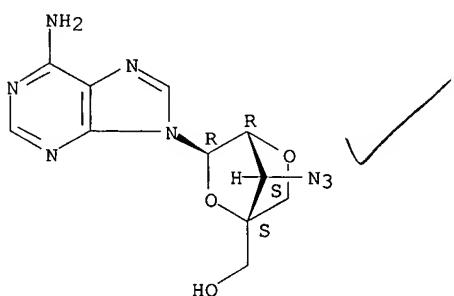
IT 474926-81-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis and antiviral activity of novel conformationally locked nucleosides and masked phosphate derivs. in order to evaluate the relationship between furanose conformation and anti-HIV activity)

RN 474926-81-3 CAPLUS

CN 9H-Purin-6-amine, 9-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- α -L-lyxofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



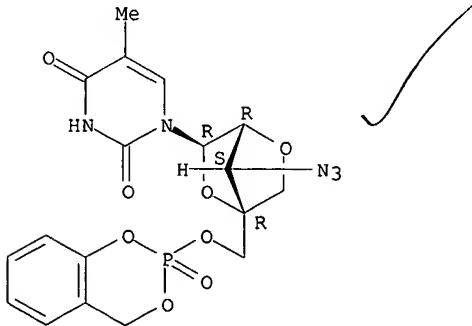
IT 474927-20-3P 474927-26-9P 474927-28-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (synthesis and antiviral activity of novel conformationally locked nucleosides and masked phosphate derivs. in order to evaluate the relationship between furanose conformation and anti-HIV activity)

RN 474927-20-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[(2-oxido-4H-1,3,2-benzodioxaphosphorin-2-yl)oxy]methyl]- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

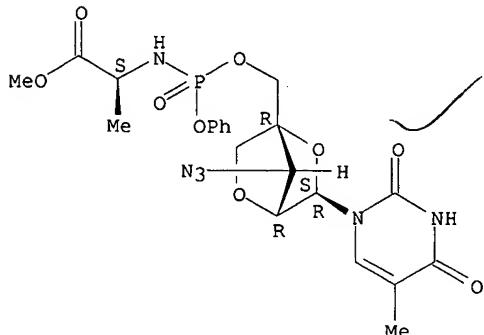


10/054, 300

RN 474927-26-9 CAPLUS

CN L-Alanine, N-(3'-azido-3-deoxy-5-methyl-2'-O,4'-C-methylene-P-phenyl-5'-uridylyl)-, methyl ester (9CI) (CA INDEX NAME)

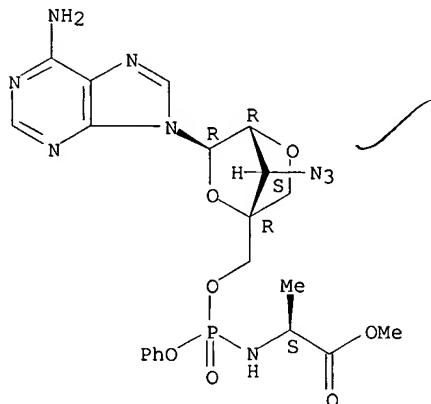
Absolute stereochemistry.



RN 474927-28-1 CAPLUS

CN L-Alanine, N-(3'-azido-3'-deoxy-2'-O,4'-C-methylene-P-phenyl-5'-adenylyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 474927-12-3P 474927-14-5P

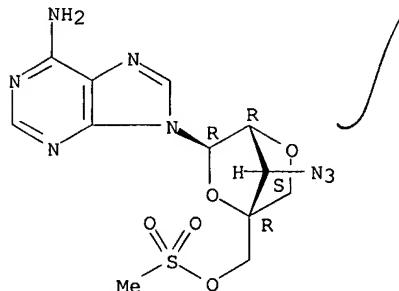
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antiviral activity of novel conformationally locked nucleosides and masked phosphate derivs. in order to evaluate the relationship between furanose conformation and anti-HIV activity)

RN 474927-12-3 CAPLUS

CN 9H-Purin-6-amine, 9-[2,5-anhydro-3-azido-3-deoxy-4-C-[(methylsulfonyl)oxy]methyl]- α -L-lyxofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

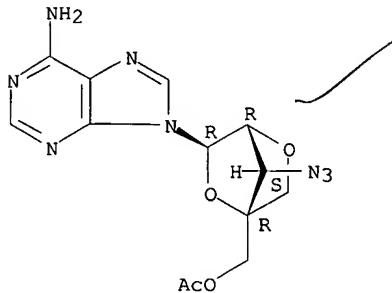


McIntosh

10/054,300

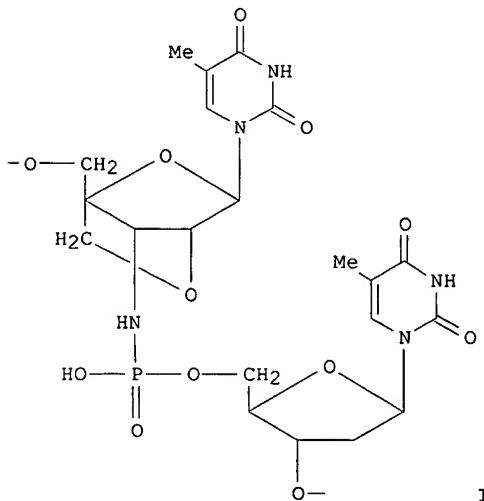
RN 474927-14-5 CAPLUS
CN 9H-Purin-6-amine, 9-[4-C-[(acetyloxy)methyl]-2,5-anhydro-3-azido-3-deoxy-
α-L-lyxofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:768564 CAPLUS
DN 136:167631
TI 3'-Amino-2',4'-BNA: novel bridged nucleic acids having an N3'→P5'
phosphoramidate linkage
AU Obika, Satoshi; Onoda, Mayumi; Morita, Koji; Andoh, Jun-ichi; Koizumi,
Makoto; Imanishi, Takeshi *Prink L*
CS Graduate School of Pharmaceutical Sciences, Osaka University, Suita,
Osaka, 565-0871, Japan
SO Chemical Communications (Cambridge, United Kingdom) (2001) (19),
1992-1993
CODEN: CHCOFS; ISSN: 1359-7345
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 136:167631
GI



AB Novel oligonucleotide analogs (I), containing a 3'-amino-2',4'-BNA unit, were successfully synthesized, and they showed superior duplex and triplex forming ability as well as BNA itself, along with remarkable enzymic stability.
IT 247025-17-8

McIntosh

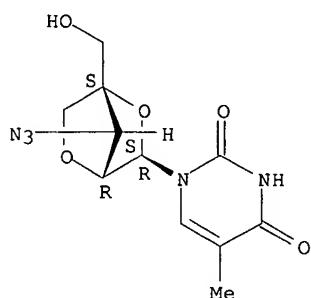
10/054, 300

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bridged nucleic acids having an N3'→P5'
phosphoramidate linkage and their effect on hybridization in DNA or RNA
duplexes or triplexes)

RN 247025-17-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-
(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



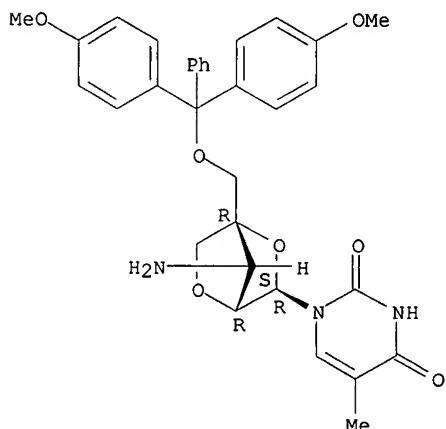
IT 321882-29-5P 391259-82-8P 391259-84-0P
391259-85-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of bridged nucleic acids having an N3'→P5'
phosphoramidate linkage and their effect on hybridization in DNA or RNA
duplexes or triplexes)

RN 321882-29-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-4-C-[{bis(4-
methoxyphenyl)phenylmethoxy]methyl]-3-deoxy- α -L-lyxofuranosyl]-5-
methyl- (9CI) (CA INDEX NAME)

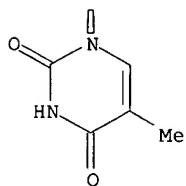
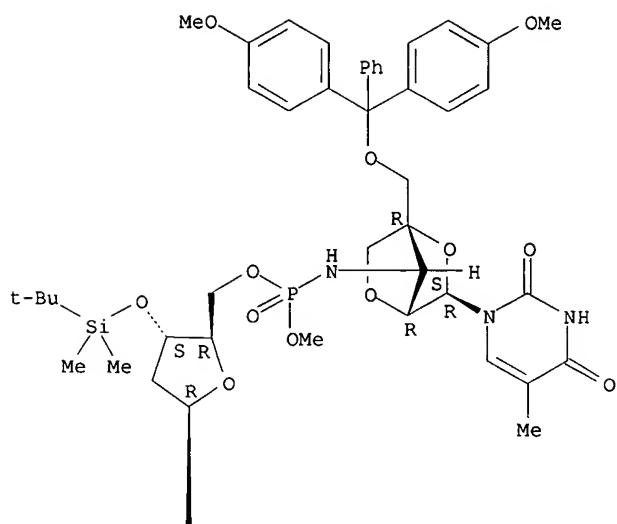
Absolute stereochemistry.



RN 391259-82-8 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-
dimethyl-2'-O,4'-C-methyleneuridyl-(3'→5')-3'-O-[(1,1-
dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

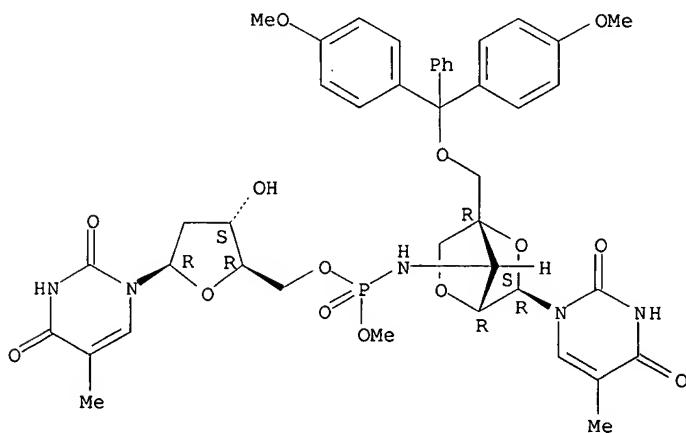
Absolute stereochemistry.



RN 391259-84-0 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')- (9CI) (CA INDEX NAME)

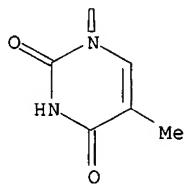
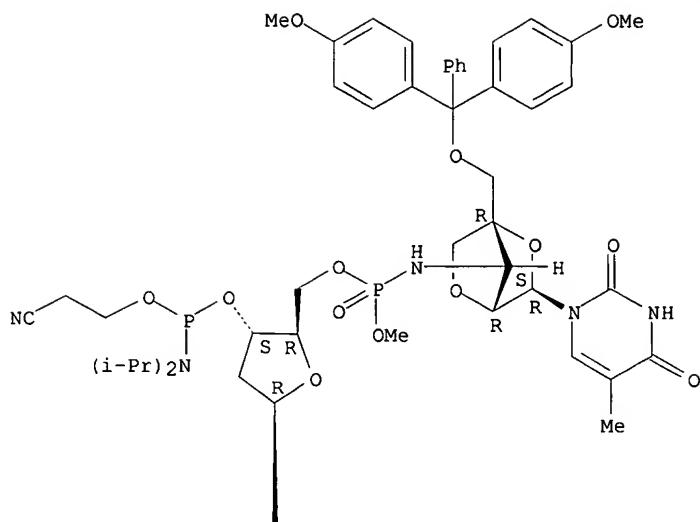
Absolute stereochemistry.



RN 391259-85-1 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



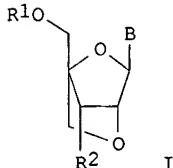
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:78400 CAPLUS
 DN 134:131768
 TI Preparation of novel bicyclo nucleoside analogues as intermediates for oligonucleotide analogs both having anti-HIV activity
 IN Imanishi, Takeshi; Kohiga, Satoshi
 PA Sankyo Company, Ltd., Japan
 SO PCT Int. Appl., 84 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001007455	A1	20010201	WO 2000-JP4902	20000721
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2001089496	A	20010403	JP 2000-218496	20000719
CA 2380205	A1	20010201	CA 2000-2380205	20000721
AU 2000063135	A	20010213	AU 2000-63135	20000721
AU 766656	B2	20031023		
BR 2000012646	A	20020409	BR 2000-12646	20000721
EP 1201678	A1	20020502	EP 2000-949882	20000721
EP 1201678	B1	20040922		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
HU 200202812	A2	20021228	HU 2002-2812	20000721
NZ 516653	A	20030926	NZ 2000-516653	20000721
RU 2227143	C2	20040420	RU 2002-101317	20000721
AT 277066	T	20041015	AT 2000-949882	20000721

10/054,300

PT 1201678	T 20041130	PT 2000-949882	20000721
ES 2226891	T3 20050401	ES 2000-949882	20000721
ZA 2002000398	A 20030617	ZA 2002-398	20020116
NO 2002000305	A 20020321	NO 2002-305	20020121
US 2004143114	A1 20040722	US 2002-54300	20020122
HK 1044776	A1 20050218	HK 2002-106305	20020827
PRAI JP 1999-207170	A 19990722		
WO 2000-JP4902	W 20000721		
OS MARPAT 134:131768			
GI			



16/05th, 20⁰²
my apl

AB Novel bicyclo nucleoside analogs having an anti-AIDS activity (no data), which are useful as intermediates for the preparation of oligonucleotide analogs having an excellent antisense or antigene activity and being stable in vivo, are claimed. Specifically, novel bicyclo nucleoside analogs represented by the structural formula (I) or pharmaco. acceptable salts thereof [wherein R1 is hydrogen, a hydroxyl-protecting group, PO3H2, or P(R4a)R4b (wherein R4a and R4b are (un)protected OH, SH, or NH2, C1-6 alkoxy, C1-6 alkylthio, C1-7 cyanoalkoxy, or C1-6 alkylamino); R2 is azido, optionally protected amino, or P(R4a)R4b (R4a and R4b are = same as above); and B is a purin-9-yl or 2-oxo-1,2-dihydropyrimidin-1-yl group which is optionally substituted with a member selected from the group consisting of halogeno, C1-C6 alkyl, hydroxyl, mercapto, amino, and so on] are prepared. Thus, 300 mg 3-azido-5-(tert-butyldiphenylsilyl)-3-deoxy-4-(p-toluenesulfonyloxyethyl)-1,2-di-O-acetyl-D-ribofuranose was condensed with 240 mg O,O'-bis(trimethylsilyl)thymine in the presence of 253 mg SNC14 in 1,2-dichloroethane at room temperature for 43 h to 91% give 2'-O-acetyl-3'-azido-5'-O-(tert-butyldiphenylsilyl)-3'-deoxy-4'-(p-toluenesulfonyloxyethyl)-5-methyluridine which (200 mg) was dissolved in 7 mL MeOH and stirred with 41 mg K2CO3 at room temperature for 4.5 h to give 100% 3'-azido-5'-O-(tert-butyldiphenylsilyl)-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine. The latter compound was stirred with Bu4NF in THF at room temperature for 1 h to give 85% 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine (II) which was hydrogenated over 10% Pd-C in THF to give 100% 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine. An oligonucleotide analog 5'-d(TTTTTTTT-n-T)-3' (T = 2'-deoxythymidine, n = 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine residue) was also prepared by the phosphoramidite using II as the intermediate.

IT 247025-16-7P 321882-28-4P 321882-29-5P
321882-30-8P 321882-31-9P 321882-32-0P
321882-33-1P

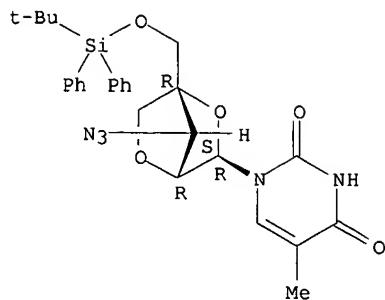
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel bicyclo nucleoside analogs as intermediates for antisense or antigene oligonucleotide analogs both having anti-HIV activity for treatment of AIDS)

RN 247025-16-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

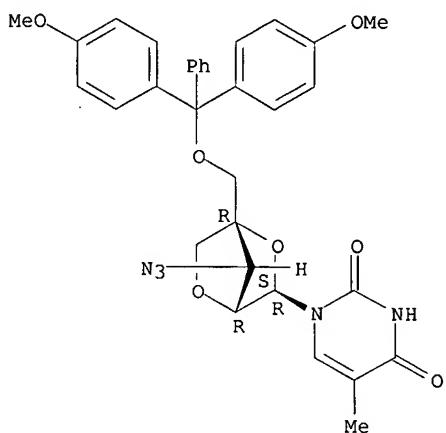
Absolute stereochemistry.

McIntosh



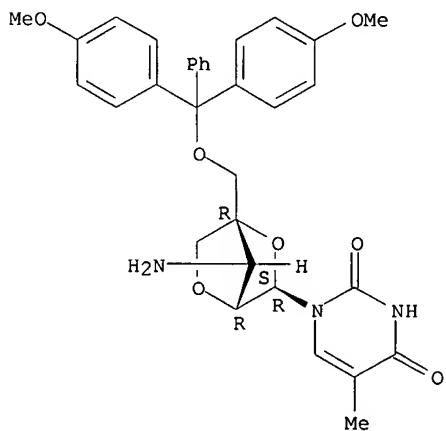
RN 321882-28-4 CAPLUS
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-deoxy-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321882-29-5 CAPLUS
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-4-C-[(bis(4-methoxyphenyl)phenylmethoxy)methyl]-3-deoxy-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

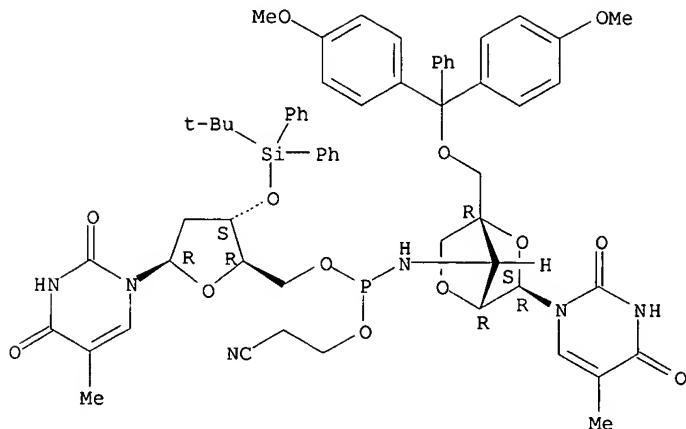


RN 321882-30-8 CAPLUS
 CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P(O)-(2-cyanoethyl)-P,3'-dideoxy-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

10/054,300

NAME)

Absolute stereochemistry.

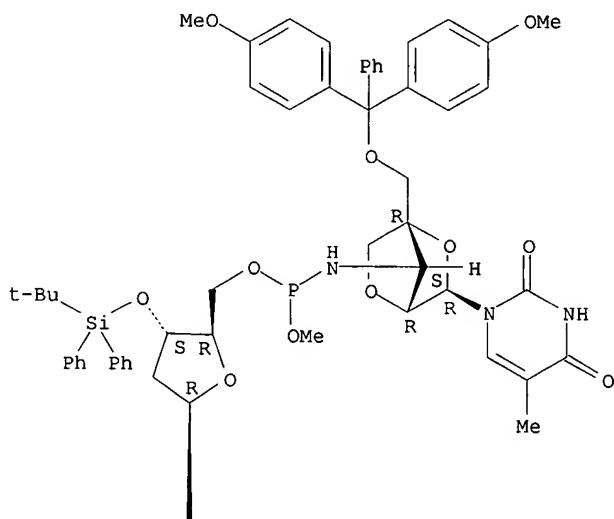


RN 321882-31-9 CAPLUS

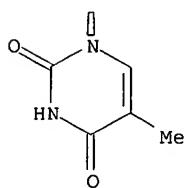
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxy-3'-deoxy-P(O),5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



RN 321882-32-0 CAPLUS

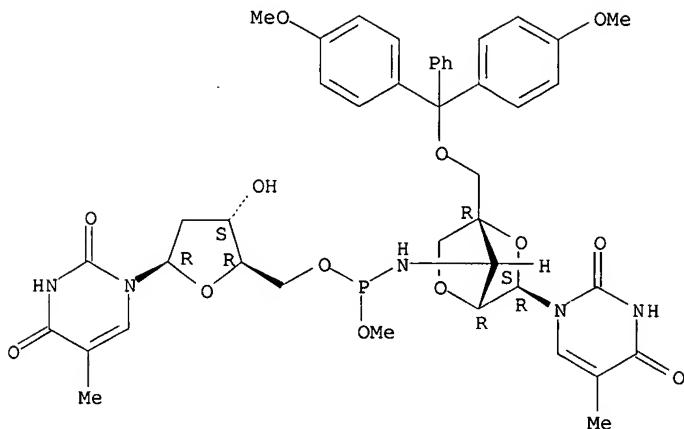
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxy-3'-deoxy-P(O),5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')- (9CI)

McIntosh

10/054, 300

(CA INDEX NAME)

Absolute stereochemistry.

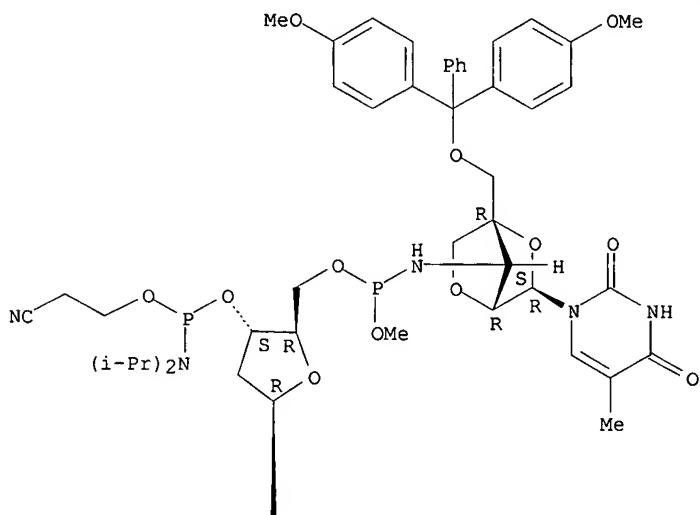


RN 321882-33-1 CAPLUS

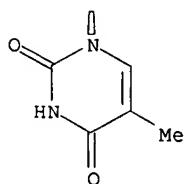
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxy-3'-deoxy-P(O,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



IT 247025-17-8P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);

McIntosh

10/054,300

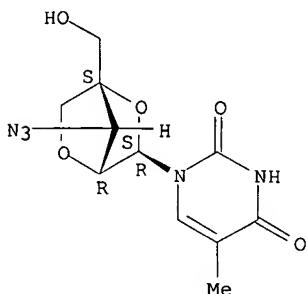
USES (Uses)

(preparation of novel bicyclo nucleoside analogs as intermediates for antisense or antigenic oligonucleotide analogs both having anti-HIV activity for treatment of AIDS)

RN 247025-17-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



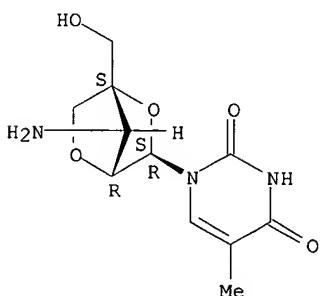
IT 247025-18-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel bicyclo nucleoside analogs as intermediates for antisense or antigenic oligonucleotide analogs both having anti-HIV activity for treatment of AIDS)

RN 247025-18-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:761652 CAPLUS

DN 134:101124

TI Synthesis and evaluation of anti-HIV-1 activity of 3'-azido-3'-deoxy-2'-O,4'-C-methylene-linked bicyclic thymine nucleosides

AU Olsen, Anne G.; Rajwanshi, Vivek K.; Nielsen, Claus; Wengel, Jesper
CS Department of Chemistry, Center for Synthetic Bioorganic Chemistry,
University of Copenhagen, Copenhagen, DK-2100, Den.

SO Perkin 1 (2000), (21), 3610-3614
CODEN: PERKF9; ISSN: 1470-4358

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 134:101124

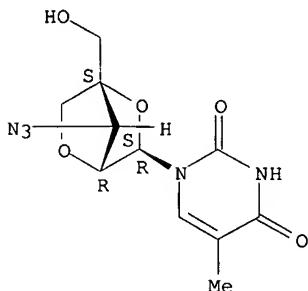
AB Two conformationally locked AZT analogs, each containing a 2'-O,4'-C-methylene-linked bicyclic furanose moiety, are synthesized via a 3'-azido-3'-deoxy-4'-C-hydroxymethyl nucleoside. The β -D-ribo-configured derivative is shown by NOE expts. to exist in a north-type (3E, C3'-endo) conformation and the α -L-xylo-configured derivative in a south-type (3E, C3'-exo) conformation. Both nucleosides were devoid of

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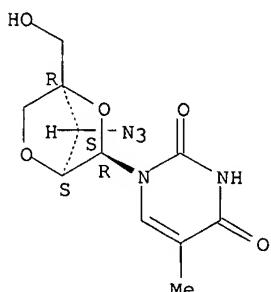
IT anti-HIV activity in MT-4 cells.
IT 247025-17-8P 319919-16-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and evaluation of anti-HIV-1 activity of azidodeoxy-O,C-methylene-linked bicyclic thymine nucleosides)
RN 247025-17-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 319919-16-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- β -D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:570020 CAPLUS
DN 131:299638
TI Synthesis of a conformationally locked AZT analog, 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine
AU Obika, Satoshi; Andoh, Jun-ichi; Sugimoto, Tomomi; Miyashita, Kazuyuki; *Imanishi, Takeshi* ✓
CS Graduate School of Pharmaceutical Sciences, Osaka University, Suita, 565-0871, Japan
SO Tetrahedron Letters (1999), 40(35), 6465-6468
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
AB A bicyclic 3'-azido-3'-deoxythymidine (AZT) analog with a locked N-conformation, 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine (I), and its 3'-amino derivative, 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine, were successfully synthesized from D-glucose. The conformation of I was also discussed by means of 1H NMR measurements and a mol. modeling (PM3) study.
IT 247025-17-8P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP

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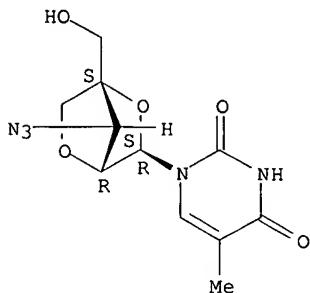
10/054, 300

(Preparation); RACT (Reactant or reagent)
(synthesis, conformation, and mol. modeling of a locked AZT analog
azidodeoxymethylenemethyluridine)

RN 247025-17-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-
(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



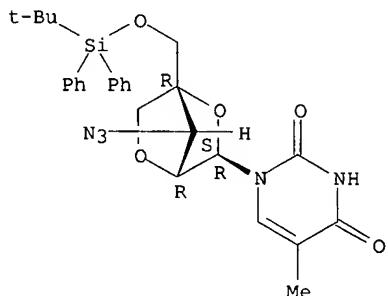
IT 247025-16-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(synthesis, conformation, and mol. modeling of a locked AZT analog
azidodeoxymethylenemethyluridine)

RN 247025-16-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[(1,1-
dimethylethyl)diphenylsilyl]oxy]methyl- α -L-lyxofuranosyl]-5-methyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



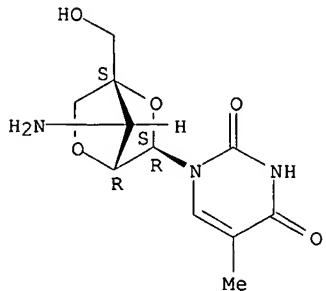
IT 247025-18-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis, conformation, and mol. modeling of a locked AZT analog
azidodeoxymethylenemethyluridine)

RN 247025-18-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-
(hydroxymethyl)- α -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 16:20:03 ON 18 DEC 2006)

FILE 'REGISTRY' ENTERED AT 16:20:18 ON 18 DEC 2006

L1 STRUCTURE uploaded

L2 0 S L1 SSS SAM

L3 24 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:20:53 ON 18 DEC 2006

L4 7 S L3